

Match level :

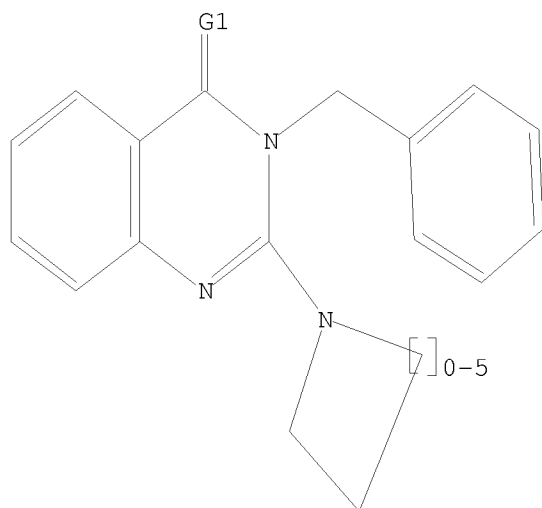
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
 21:Atom 22:Atom 23:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:44:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 176 TO ITERATE

100.0% PROCESSED 176 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2725 TO 4315

PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:44:57 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3468 TO ITERATE

100.0% PROCESSED 3468 ITERATIONS
SEARCH TIME: 00.00.01

127 ANSWERS

L3 127 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

185.88

186.10

FILE 'CAPLUS' ENTERED AT 14:45:02 ON 25 MAR 2009

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FILE COVERS 1907 - 25 Mar 2009 VOL 150 ISS 13

FILE LAST UPDATED: 24 Mar 2009 (20090324/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

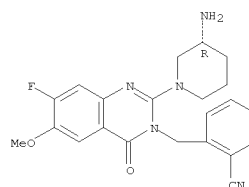
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L4 4 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:779820 CAPLUS
 DOCUMENT NUMBER: 149:118693
 TITLE: Discovery of alogliptin: A potent, selective, bioavailable, and efficacious inhibitor of dipeptidyl peptidase IV. [Erratum to document cited in CA147:045193]
 AUTHOR(S): Feng, Jun; Zhang, Zhiyuan; Wallace, Michael B.; Stafford, Jeffrey A.; Kaldor, Stephen W.; Kassel, Daniel B.; Navre, Marc; Shi, Lihong; Skene, Robert J.;
 Asakawa, Tomoko; Takeuchi, Koji; Xu, Rongda; Webb, David R.; Gwaltney, Stephen L.
 CORPORATE SOURCE: Takeda San Diego, Inc., San Diego, CA, 92121, USA
 SOURCE: Journal of Medicinal Chemistry (2008), 51(14), 4357
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB On page 2297, Figure 1 is incorrect; the correct version of the figure is given. On page 2298, Figure 4 is incorrect; the correct version of the figure is given.
 IT 769157-65-5P 769157-71-3P 940907-93-7P
 940907-94-8P 940907-95-9P 940907-97-1P
 940907-99-3P 940908-00-9P 940908-01-0P
 940908-02-1P 940908-03-2P 940908-05-4P
 940908-07-6P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (discovery of alogliptin, a potent, selective, bioavailable, and efficacious inhibitor of dipeptidyl peptidase IV (Erratum))
 RN 769157-65-5 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 CM 1
 CRN 769157-64-4
 CMF C22 H22 F N5 O2
 Absolute stereochemistry.

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

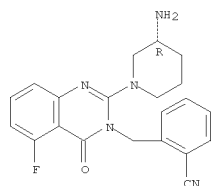


CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 769157-71-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 CM 1
 CRN 769157-70-2
 CMF C21 H20 F N5 O
 Absolute stereochemistry.

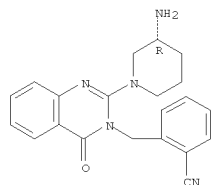
L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2

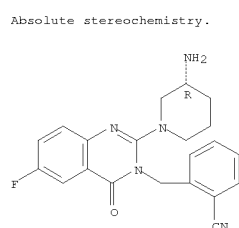


RN 940907-93-7 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)
 Absolute stereochemistry.



RN 940907-94-8 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 CM 1
 CRN 769158-14-7

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



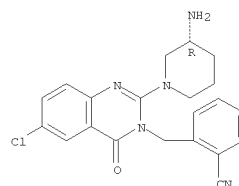
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 940907-95-9 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-chloro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 769157-63-3
 CMF C21 H20 Cl N5 O

Absolute stereochemistry.



L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

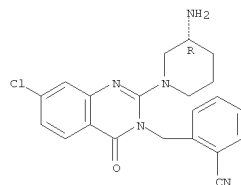
CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 940907-97-1 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-7-chloro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 940907-96-0
 CMP C21 H20 Cl N5 O

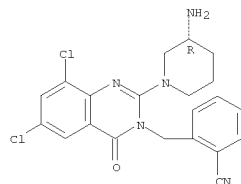
Absolute stereochemistry.



CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



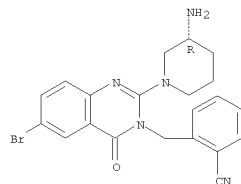
CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 940908-01-0 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 769157-89-3
 CMP C21 H20 Br N5 O

Absolute stereochemistry.



CM 2

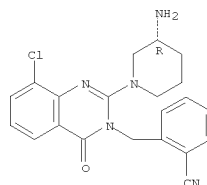
Habe

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 940907-99-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-8-chloro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 940907-98-2
 CMP C21 H20 Cl N5 O

Absolute stereochemistry.



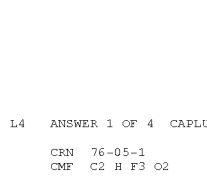
CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 940908-00-9 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 769157-92-8
 CMP C21 H19 Cl2 N5 O

Absolute stereochemistry.



L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

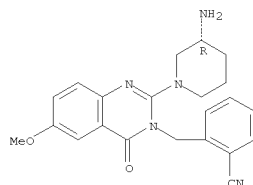
CRN 76-05-1
 CMP C2 H F3 O2



RN 940908-02-1 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 769157-93-9
 CMP C22 H23 N5 O2

Absolute stereochemistry.



CM 2
 CRN 76-05-1
 CMP C2 H F3 O2

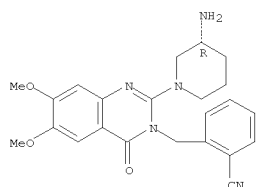


RN 940908-03-2 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

03/25/2009

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

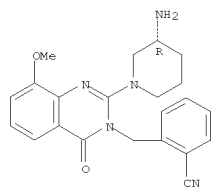


RN 940908-05-4 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-8-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 940908-04-3
 CMF C22 H23 N5 O2

Absolute stereochemistry.

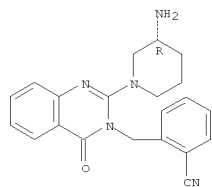


CM 2

CRN 76-05-1
 CMF C2 H F3 O2

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

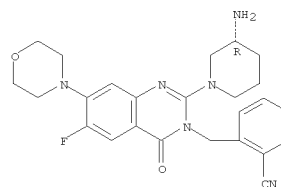


RN 940908-07-6 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 769157-95-1
 CMF C25 H27 F N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



IT 940907-93-7DP, complex with dipeptidyl peptidase IV
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (discovery of alogliptin, a potent, selective, bioavailable, and efficacious inhibitor of dipeptidyl peptidase IV (Erratum))
 RN 940907-93-7 CAPLUS

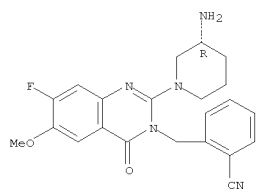
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:427945 CAPLUS
 DOCUMENT NUMBER: 147:45193
 TITLE: Discovery of Alogliptin: A Potent, Selective, Bioavailable, and Efficacious Inhibitor of Dipeptidyl Peptidase IV
 AUTHOR(S): Feng, Jun; Zhang, Zhiyuan; Wallace, Michael B.; Stafford, Jeffrey A.; Kaldor, Stephen W.; Kassel, Daniel B.; Navre, Marc; Shi, Lihong; Skene, Robert J.;
 Asakawa, Tomoko; Takeuchi, Koji; Xu, Rongda; Webb, David R.; Gwaltney, Stephen L., II
 CORPORATE SOURCE: Takeda San Diego, Inc., San Diego, CA, 92121, USA
 SOURCE: Journal of Medicinal Chemistry (2007), 50(10), 2297-2300
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 147:45193
 AB Alogliptin is a potent, selective inhibitor of the serine protease dipeptidyl peptidase IV (DPP-4). Herein, the authors describe the structure-based design and optimization of alogliptin and related quinazolinone-based DPP-4 inhibitors. Following an oral dose, these noncovalent inhibitors provide sustained reduction of plasma DPP-4 activity and a lowering of blood glucose in animal models of diabetes. Alogliptin is currently undergoing phase III trials in patients with type 2 diabetes.
 IT 769157-65-5P 769157-71-3P 940907-93-7P
 940907-94-8P 940907-95-9P 940907-97-1P
 940907-99-3P 940908-00-9P 940908-01-0P
 940908-02-1P 940908-03-2P 940908-05-4P
 940908-07-6P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (discovery of alogliptin, a potent, selective, bioavailable, and efficacious inhibitor of dipeptidyl peptidase IV)
 RN 769157-65-5 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 769157-64-4
 CMF C22 H22 F N5 O2

Absolute stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 769157-71-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

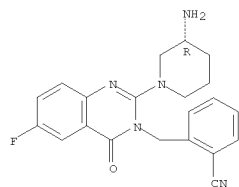
CM 1
 CRN 769157-70-2
 CMF C21 H20 F N5 O

Absolute stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CM 1
 CRN 769158-14-7
 CMF C21 H20 F N5 O

Absolute stereochemistry.



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2

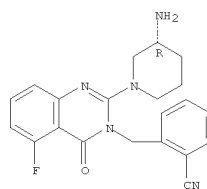


RN 940907-95-9 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-chloro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 769157-63-3
 CMF C21 H20 Cl N5 O

Absolute stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

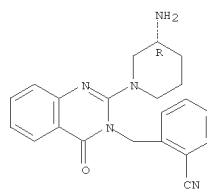


CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



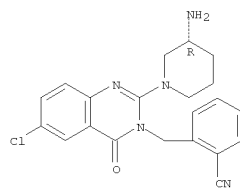
RN 940907-93-7 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

Absolute stereochemistry.



RN 940907-94-8 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



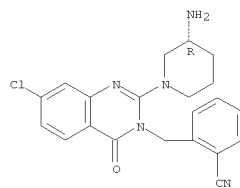
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 940907-97-1 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-7-chloro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
 CRN 940907-96-0
 CMF C21 H20 Cl N5 O

Absolute stereochemistry.



CM 2

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 76-05-1
 CMF C2 H F3 O2

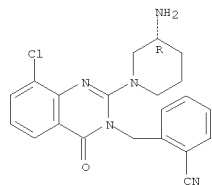


RN 940907-99-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-8-chloro-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 940907-98-2
 CMF C21 H20 Cl N5 O

Absolute stereochemistry.



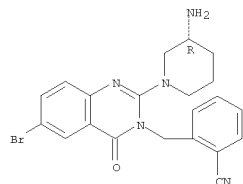
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 940908-00-9 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)-

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

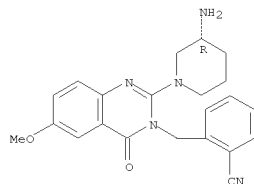


RN 940908-02-1 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 769157-93-9
 CMF C22 H23 N5 O2

Absolute stereochemistry.



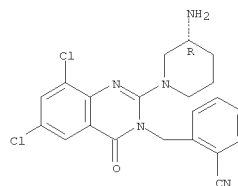
CM 2

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) (CA INDEX NAME)

CM 1

CRN 769157-92-8
 CMF C21 H19 Cl2 N5 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 940908-01-0 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 769157-89-3
 CMF C21 H20 Br N5 O

Absolute stereochemistry.

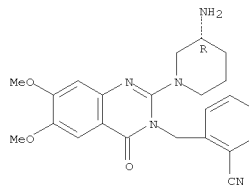
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 76-05-1
 CMF C2 H F3 O2



RN 940908-03-2 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



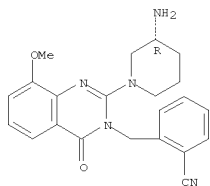
RN 940908-05-4 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-8-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 940908-04-3
 CMF C22 H23 N5 O2

Absolute stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 940908-07-6 CAPLUS

CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

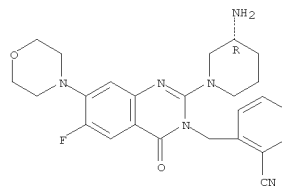
CM 1

CRN 769157-95-1

CMF C25 H27 F N6 O2

Absolute stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 76-05-1

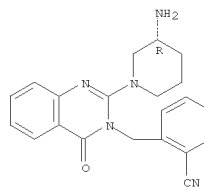
CMF C2 H F3 O2

IT 940907-93-7DP, complex with dipeptidyl peptidase IV
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (discovery of alogliptin, a potent, selective, bioavailable, and efficacious inhibitor of dipeptidyl peptidase IV)

RN 940907-93-7 CAPLUS

CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:857326 CAPLUS

DOCUMENT NUMBER: 141:309639

TITLE: Dipeptidyl peptidase inhibitors

INVENTOR(S): Feng, Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.;

Stafford, Jeffrey A.; Wallace, Michael B.; Zhang,

Zhiyuan

PATENT ASSIGNEE(S): Syrrx, Inc., USA

SOURCE: PCT Int. Appl., 244 pp.

CODEN: PIXXD2

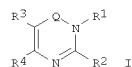
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

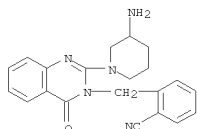
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087053	A2	20041014	WO 2004-US9217	20040324
WO 2004087053	A9	20041111		
WO 2004087053	A3	20060831		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LG, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2518465	A1	20041014	CA 2004-2518465	20040324
US 20040242568	A1	20041202	US 2004-809636	20040324
US 20040242566	A1	20041202	US 2004-809638	20040324
US 20040259870	A1	20041223	US 2004-809637	20040324
US 20050004117	A1	20050106	US 2004-809635	20040324
EP 1608317	A2	20051228	EP 2004-758366	20040324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1894234	A	20070110	CN 2004-80011900	20040324
JP 2007524600	T	20070830	JP 2006-509315	20040324
PRIORITY APPLN. INFO.:				
			US 2003-457785P	P 20030325
			WO 2004-US9217	W 20040324

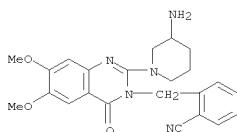
OTHER SOURCE(S): MARPAT 141:309639
GI

AB Dipeptidyl peptidase IV inhibitors I [Q = CO, SO, SO2, C:NR5; R1 = ZR6; Z = moiety providing 1-6 atom separation between R6 and ring; R2 =

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
 (substituted)3-7-membered ring; R3,R4 = taken together form a
 (substituted)5-6-membered ring; R5 = H, (substituted)alkyl, cycloalkyl,
 etc.; R6 = (substituted)C3-7-cycloalkyl or aryl] are disclosed. Thus,
 2-[2-(3-aminopiperidin-1-yl)-6,7-dimethoxy-4-oxo-4H-quinazolin-3-
 ylmethyl]benzonitrile (1; R1 = 2-cyanophenylmethyl; R2 =
 3-aminopiperidin-1-yl; R3,R4 = dimethoxyphenyl) was synthesized. This
 compd. exhibited enhanced stability in rat liver microsomes.
 IT 769157-54-2P 769157-55-3P 769157-56-4P
 769157-57-5P 769157-58-6P 769157-59-7P
 769157-63-3P 769157-65-5P 769157-71-3P
 769157-81-5P 769157-89-3P 769157-91-7P
 769157-92-8P 769157-93-9P 769157-94-0P
 769157-95-1P 769158-01-2P 769158-02-3P
 769158-03-4P 769158-04-5P 769158-05-6P
 769158-06-7P 769158-14-7P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)
 (dipeptidyl peptidase inhibitors)
 RN 769157-54-2 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-4-oxo-3(4H)-
 quinazolinyl]methyl]- (CA INDEX NAME)

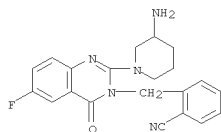


RN 769157-55-3 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-
 quinazolinyl]methyl]- (CA INDEX NAME)



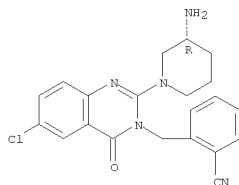
RN 769157-56-4 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-methoxy-4-oxo-3(4H)-
 quinazolinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 769157-63-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-chloro-4-oxo-3(4H)-
 quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



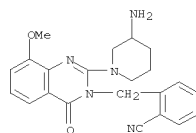
RN 769157-65-5 CAPLUS
 CN Benzonitrile,
 2-[[2-[(3R)-3-amino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo-
 3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX
 NAME)

CM 1

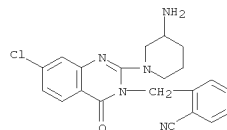
CRN 769157-64-4
 CMF C22 H22 F N5 O2

Absolute stereochemistry.

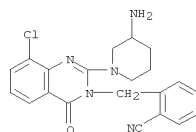
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 769157-57-5 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-7-chloro-4-oxo-3(4H)-
 quinazolinyl]methyl]- (CA INDEX NAME)

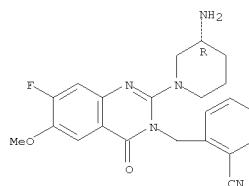


RN 769157-58-6 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-chloro-4-oxo-3(4H)-
 quinazolinyl]methyl]- (CA INDEX NAME)



RN 769157-59-7 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-
 quinazolinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

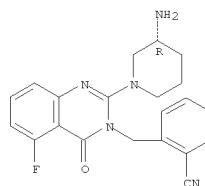


RN 769157-71-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3(4H)-
 quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 769157-70-2
 CMF C21 H20 F N5 O

Absolute stereochemistry.



CM 2

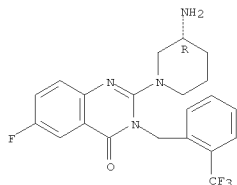
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 76-05-1
 CMF C2 H F3 O2



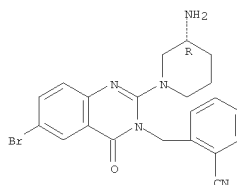
RN 769157-81-5 CAPLUS
 CN 4(3H)-Quinazolinone, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-3-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

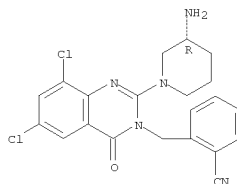


RN 769157-89-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

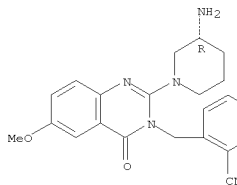


L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



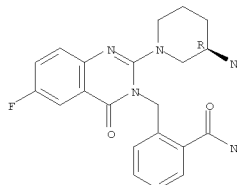
RN 769157-93-9 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 769157-94-0 CAPLUS
 CN Benzanide, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



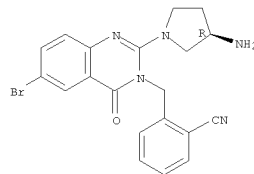
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 769157-91-7 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-pyrrolidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 769157-90-6
 CMF C20 H18 Br N5 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 769157-92-8 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

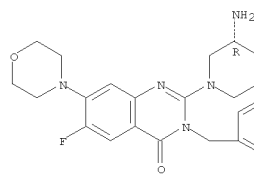
Absolute stereochemistry.



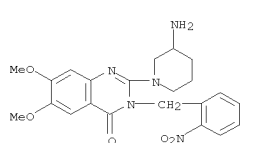
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 769157-95-1 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

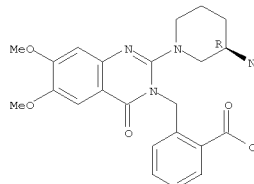


RN 769158-01-2 CAPLUS
 CN 4(3H)-Quinazolinone, 2-(3-amino-1-piperidinyl)-6,7-dimethoxy-3-[(2-nitrophenyl)methyl]- (CA INDEX NAME)



RN 769158-02-3 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, ethyl ester (CA INDEX NAME)

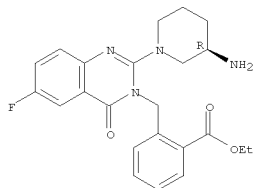
Absolute stereochemistry.



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

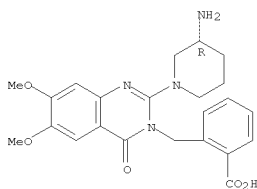
RN 769158-03-4 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 769158-04-5 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

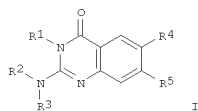


RN 769158-05-6 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:543605 CAPLUS
 DOCUMENT NUMBER: 138:106649
 TITLE: Solid-phase synthesis of quinazolin-4(3H)-ones with three-point diversity
 AUTHOR(S): Kesarwani, A. P.; Srivastava, G. K.; Rastogi, S. K.; Kundu, B.
 CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India
 SOURCE: Tetrahedron Letters (2002), 43(32), 5579-5581
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:106649
 GI



AB A versatile method for the solid-phase synthesis of differentially substituted quinazolin-4(3H)-ones I (R1 = Et, Ph, PhCH2; R2 = Bu, R3 = Me;

R2R3N = N-methylpiperazino, 4-benzylpiperidino, morpholino; R4 = R5 = H, R4R5 = CH:CHCH:CH) was developed using immobilized arylguanidines. The latter were obtained by treating the amino group of polymer-linked aminoaryl amide with isothiocyanates R1NCS followed by coupling of resulting thioureas with secondary amines R3NHR4. Under mild acidic conditions, these immobilized arylguanidines underwent

cyclization/polymer matrix cleavage to give I in high yields and purities.

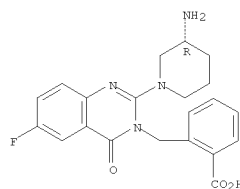
IT 485402-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

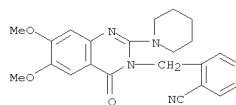
(solid-phase synthesis of (amino)quinazolinones with three points of diversity from aminoaryl carboxylic acids, isothiocyanates, and secondary amines)

RN 485402-04-8 CAPLUS
 CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

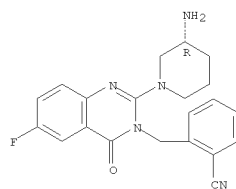


RN 769158-06-7 CAPLUS
 CN Benzonitrile, 2-[[[6,7-dimethoxy-4-oxo-2-(1-piperidinyl)-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

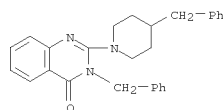


RN 769158-14-7 CAPLUS
 CN Benzonitrile, 2-[[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT